

**ABSTRACT**

Beta-lapachone, which is poorly soluble in most pharmaceutically acceptable solvents, has demonstrated significant antineoplastic activity against human cancer lines. The present invention overcomes this significant limitation by teaching novel pharmaceutical compositions comprising a therapeutically effective amount of Beta-lapachone, or a derivative or analog thereof, and a pharmaceutically acceptable solubilizing carrier molecule, which may be a water-solubilizing carrier molecule such as hydroxypropyl- $\beta$ -cyclodextrin, or an oil-based solubilizing carrier molecule, for enhancing the solubility of Beta-lapachone in aqueous solution. The therapeutically effective amount of Beta-lapachone, or a derivative or analog thereof, may be complexed with the pharmaceutically acceptable solubilizing carrier molecule in aqueous solution. The novel pharmaceutical compositions may be administered with a second anticancer agent or in combination with radiation therapy. A formulation of Beta-lapachone or a derivative or analog thereof, complexed with a pharmaceutically acceptable solubilizing carrier molecule, wherein the complex can be freeze-dried and when subsequently reconstituted in aqueous solution is substantially soluble is also disclosed. Emulsions of Beta-Lapachone in a pharmaceutically acceptable fat emulsion vehicle are also provided. Also disclosed are methods for treating cancer by administering to a patient the novel pharmaceutical compositions and formulations. Pharmaceutical kits are also provided.